10/595,798

```
Welcome to STN International
                    * * * * STN Columbus * * * *
FILE 'HOME' ENTERED AT 13:19:56 ON 11 DEC 2007
=> file req
=> Uploading C:\Program Files\Stnexp\Queries\Queries\10595798a.str
                                 ---Ak---
                      -Ak-
chain nodes :
11 12 13 14 15 16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 9-19 11-12 11-18 11-17 12-13 13-14 14-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-10 \quad 7-8 \quad 7-11 \quad 8-9 \quad 9-10 \quad 9-19 \quad 11-12 \quad 11-18
11-17 12-13 13-14 14-15 15-16
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:CLASS
19:Atom
        STRUCTURE UPLOADED
L1
=> s 11 sam
L2
              3 SEA SSS SAM L1
=> s l1 full
            146 SEA SSS FUL L1
=> file caplus
=> s 13
             2 L3
L4
=> s 14 and pd< nov 2003
      23873125 PD< NOV 2003
                 (PD<20031100)
```

0 L4 AND PD< NOV 2003

L5

=> dis 14 1-2 bib abs fhitstr

- L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2005:523425 CAPLUS Full-text
- DN 143:59843
- TI Preparation of 5-aminosulfonyl-7-phenylisoquinolines as inhibitors of protein kinase B (Akt1).
- IN Barda, David Anthony; Henry, Kenneth James, Jr.; Huang, Jianping; Joseph, Sajan; Lin, Ho-Shen; Richett, Michael Enrico
- PA Eli Lilly and Company, USA
- SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.					KIN	D	DATE		APPLICATION NO.						DATE		
ΡI	WO 2005054202					A1		20050616										
		W: AE, AG, AL,																
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	ĢΒ,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LŔ,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
		,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			•	•					UA,	•				•		-		
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
									TJ,									
			EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,
			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			•	SN,	•													
	EΡ	EP 1689719									-	-						
		R:	•	•	•	,	•	•	FR,	•						SE,	MC,	PT,
									BG,									
		US 2007037796									US 2	006-		· 20	0060	512		
PRAI		US 2003-524963P																
	WO 2004-US37189							2004	1122									
os	MAI	RPAT	143:	5984	3													
GI																		

Title compds. [I; R1 = H, halo, OH, amino, CHF2, CF3, NHSO2Me; R2-R4 = H, halo, alkyl, CF3, amino, NO2, SMe, morpholino, (substituted) piperazinyl, pyrrolidinyl, diazepinyl, Ph, piperidinyl, etc.; R2R3 = atoms to form a benzo ring; R5, R6, R8 = H; R7, R9 = H, OH], were prepared as anticancer drugs (no data). Thus, 7-phenylisoquinoline-5-sulfonic acid (2-aminoethyl)amide (preparation given) and 3-naphthalen-1-ylpropionaldehyde were stirred 6 h in ClCH2CH2Cl; Na triacetoxyborohydride was added followed by stirring overnight

to give 7-phenylisoquinoline-5-sulfonic acid [2-(3-naphthalen-1-ylpropylamino)ethyl]amide.

IT 854689-39-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of aminosulfonylphenylisoquinolines as inhibitors of protein kinase B)

RN 854689-39-7 CAPLUS

CN 5-Isoquinolinesulfonamide, N-[2-[[3-(4-nitrophenyl)propyl]amino]ethyl]-7-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:927179 CAPLUS Full-text

DN 141:395430

TI Preparation of isoquinoline-5-sulfonic acid amides as inhibitors of Akt (Protein kinase B) for treating neoplasms and viral infections

IN Al Awar, Rima Salim; Barda, David Anthony; Henry, Kenneth James, Jr.; Joseph, Sajan; Lin, Ho-Shen; Lopez, Jose Eduardo; Richett, Michael Enrico; Somoza, Carmen

PA Eli Lilly and Company, USA; Dee, Albert Gerard

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.						D	DATE		APPLICATION NO.						DATE			
							-												
ΡI	WO 2004094386					A1		20041104		WO 2004-US6093						20040325			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	

10/595,798

```
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
    AU 2004232682
                          A1
                                20041104
                                            AU 2004-232682
                                                                    20040325
    CA 2518180
                          A1
                                20041104
                                             CA 2004-2518180
                                                                    20040325
    EP 1611105
                          A1
                                20060104
                                            EP 2004-723447
                                                                    20040325
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
                                            BR 2004-8353
     BR 2004008353
                          Α
                                20060321
                                                                    20040325
     CN 1768040
                                            CN 2004-80008515
                                20060503
                                                                    20040325
                          Α
     JP 2006521382
                          T
                                             JP 2006-508921
                                20060921
                                                                    20040325
     US 2007043040
                          Α1
                                20070222
                                             US 2004-547969
                                                                    20040325
                                                                    20050830
     IN 2005KN01724
                          Α
                                20070622 . IN 2005-KN1724
PRAI US 2003-458988P
                          Ρ
                                20030328
     WO 2004-US6093
                                20040325
                          Α
    MARPAT 141:395430
OS
GΙ
```

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. I [wherein R1 = H, halo, NH2, OH; R2 = H, alkenyl, (un)substituted alkyl; R3 = H, alkyl; R4 = H, halo, alkyl, alkoxy; R5 = H, halo, alkyl, alkoxy, CF3, NO2; or R4CCR5 = benzo-fused ring; R6 = H, halo, alkoxy, CF3, NO2, CN, cycloalkyl, OPh, phenethyl, isoxazolyl, furyl, methylsulfonyl, (un)substituted alkyl, Ph, thienyl, benzyl, benzoyl; Y = (CH2)n; n = 2-3; X = O, S(O)p, NH and derivs.; p = 0-2] were prepared as inhibitors of AKT activity. For example, DIBAL-H reduction of [4-bromo-2-(isoxazol-5-yl)phenoxy]acetic acid Me ester (preparation given) and reductive amination with isoquinoline-5-sulfonic acid (2-aminoethyl)amide gave amine II. I had IC50 values \leq 2 μ M in an Akt1 phosphorylation assay. Thus, I are useful for the treatment of susceptible neoplasms and viral infections.
- 1T 787576-27-6P, 7-(3-Hydroxyphenyl)isoquinoline-5-sulfonic acid
 [2-[[3-(2-benzyl-4-chlorophenoxy)propyl][bis(4methoxyphenyl)methyl]amino]ethyl]amide
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of isoquinoline-5-sulfonic acid amides as $\mbox{\sc Protein}$

kinase B inhibitors for treating neoplasms and viral infections)

RN 787576-27-6 CAPLUS

CN 5-Isoquinolinesulfonamide, N-[2-[[bis(4-methoxyphenyl)methyl][3-[4-chloro-2-(phenylmethyl)phenoxy]propyl]amino]ethyl]-7-(3-hydroxyphenyl)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y STN INTERNATIONAL LOGOFF AT 13:21:39 ON 11 DEC 2007